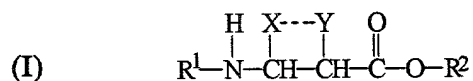


CLAIMS

What is claimed is:

1. A β -amino acid selected from the group consisting of

Formula I:



wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted or unsubstituted $\text{C}_4\text{-C}_8$ cycloalkyl, cycloalkenyl or heterocyclic ring having one or more nitrogen atoms as the sole heteroatom;

the substituents on carbon atoms of the rings being independently selected from the group consisting of linear or branched $\text{C}_1\text{-C}_6$ -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteroaryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- $\text{C}_1\text{-C}_6$ -alkyl, mono- or bicyclic heteroaryl- $\text{C}_1\text{-C}_6$ -alkyl, $-(\text{CH}_2)_{n+1}\text{-OR}^4$, $-(\text{CH}_2)_{n+1}\text{-SR}^4$, $-(\text{CH}_2)_{n+1}\text{-S(=O)-CH}_2\text{-R}^4$, $-(\text{CH}_2)_{n+1}\text{-S(=O)}_2\text{-CH}_2\text{-R}^4$, $-(\text{CH}_2)_{n+1}\text{-NR}^4\text{R}^4$, $-(\text{CH}_2)_{n+1}\text{-NHC(=O)R}^4$, $-(\text{CH}_2)_{n+1}\text{-NHS(=O)}_2\text{-CH}_2\text{-R}^4$, $-(\text{CH}_2)_{n+1}\text{-O-(CH}_2)_m\text{-R}^5$, $-(\text{CH}_2)_{n+1}\text{-S-(CH}_2)_m\text{-R}^5$, $-(\text{CH}_2)_{n+1}\text{-S(=O)-(CH}_2)_m\text{-R}^5$, $-(\text{CH}_2)_{n+1}\text{-S(=O)}_2\text{(CH}_2)_m\text{-R}^5$, $-(\text{CH}_2)_{n+1}\text{-NH-(CH}_2)_m\text{-R}^5$, $-(\text{CH}_2)_{n+1}\text{-N-}\{(\text{CH}_2)_m\text{-R}^5\}_2$, $-(\text{CH}_2)_{n+1}\text{-NHC(=O)-(CH}_2)_m\text{-R}^5$, and $-(\text{CH}_2)_{n+1}\text{-NHS(=O)}_2\text{(CH}_2)_m\text{-R}^5$;

wherein R^4 is independently selected from the group consisting of hydrogen, $\text{C}_1\text{-C}_6$ -alkyl, alkenyl, or alkynyl;

mono- or bicyclic aryl, mono- or bicyclic heteraryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl-C₁-C₆-alkyl, mono- or bicyclic heteroaryl-C₁-C₆-alkyl; and

wherein R⁵ is selected from the group consisting of hydroxy, C₁-C₆-alkyloxy, aryloxy, heteroaryloxy, thio, C₁-C₆-alkylthio, C₁-C₆-alkylsulfinyl, C₁-C₆-alkylsulfonyl, arylthio, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, amino, mono- or di-C₁-C₆-alkylamino, mono- or diarylamino, mono- or diheteroarylamino, N-alkyl-N-arylamino, N-alkyl-N-heteroarylamino, N-aryl-N-heteroarylamino, aryl-C₁-C₆-alkylamino, carboxylic acid, carboxamide, mono- or di-C₁-C₆-alkylcarboxamide, mono- or diarylcarboxamide, mono- or diheteroarylcarboxamide, N-alkyl-N-arylcarboxamide, N-alkyl-N-heteroarylcarboxamide, N-aryl-N-heteroarylcarboxamide, sulfonic acid, sulfonamide, mono- or di-C₁-C₆-alkylsulfonamide, mono- or diarylsulfonamide, mono- or diheteroarylsulfonamide, N-alkyl-N-arylsulfonamide, N-alkyl-N-heteroarylsulfonamide, N-aryl-N-heteroarylsulfonamide, urea; mono- di- or tri-substituted urea, wherein the substituent(s) is selected from the group consisting of C₁-C₆-alkyl, aryl, heteroaryl; O-alkylurethane, O-arylurethane, and O-heteroarylurethane; and

m is an integer of from 2-6 and n is an integer of from 0-6;

the substituents on heteroatoms of the ring being independently selected from the group consisting of -S(=O)₂-CH₂-R⁴

$-C(=O)-R^4-S(=O)_2-(CH_2)_m-R^5$, and $-C(=O)-(CH_2)_{n+1}-R^5$; wherein R^4 and R^5 are as defined hereinabove, and m is an integer of from 2-6 and n is an integer of from 0-6;

provided that when X & Y together with the carbons to which they are bonded define a five- or six-membered cycloalkyl or a five-membered heterocyclic ring having one nitrogen as the sole heteroatom, and the nitrogen is bonded to a carbon atom adjacent to the carboxy carbon of Formula I, the cycloalkyl or heterocyclic ring is substituted;

R^1 is selected from the group consisting hydrogen and an amino protecting group;

R^2 is selected from the group consisting of hydrogen and a carboxy protecting group;

racemic mixtures thereof, isolated or enriched enantiomers thereof;
isolated or enriched diastereomers thereof;
and salts thereof.

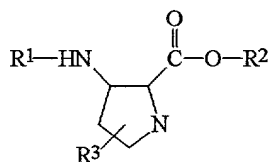
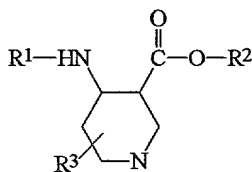
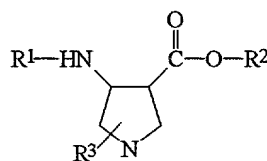
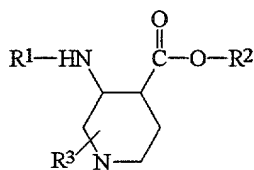
2. The β -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a moiety selected from the group consisting of a substituted cycloalkyl, a substituted or unsubstituted C_4 - C_6 cycloalkenyl, and a substituted or unsubstituted heterocyclic ring having one nitrogen atom as the sole hetero atom.

3. The β -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted or unsubstituted cyclopentenyl, cyclohexenyl, pyrrolidinyl, or piperidinyl ring.

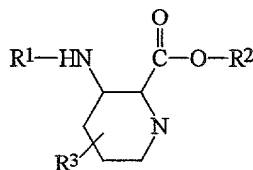
4. The β -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define a substituted cyclopentyl, cyclohexyl, cyclopentenyl, cyclohexenyl, pyrrolidinyl, or piperidinyl ring, wherein the substituent is selected from the group consisting of amino, mono- or di- C_1 - C_6 -alkylamino, carboxamido, sulfonamido, urea, thio, and C_1 - C_6 -alkylthio.

5. The β -amino acid according to claim 1, wherein X and Y combined, together with the carbon atoms to which they are bonded, define an amino-substituted cyclopentyl, cyclohexyl, cyclopentenyl, amino-substituted cyclohexenyl, amino-substituted pyrrolidinyl, or amino-substituted piperidinyl ring.

6. A β -amino acid selected from the group consisting of:



and



R^1 is selected from the group consisting hydrogen and an amino protecting group;

R^2 is selected from the group consisting of hydrogen and a carboxy protecting group; and

when R^3 is bonded to a carbon atom, R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteroaryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- C_1 - C_6 -alkyl, mono- or bicyclic heteroaryl- C_1 - C_6 -alkyl, $-(CH_2)_{n+1}-OR^4$, $-(CH_2)_{n+1}-SR^4$,

$-(CH_2)_{n+1}-S(=O)-CH_2-R^4$, $-(CH_2)_{n+1}-S(=O)_2-CH_2-R^4$,
 $-(CH_2)_{n+1}-NR^4R^4$, $-(CH_2)_{n+1}-NHC(=O)R^4$, $-(CH_2)_{n+1}-NHS(=O)_2-$
 CH_2-R^4 , $-(CH_2)_{n+1}-O-(CH_2)_m-R^5$, $-(CH_2)_{n+1}-S-(CH_2)_m-R^5$, $-(CH_2)_{n+1}-$
 $S(=O)-(CH_2)_m-R^5$, $-(CH_2)_{n+1}-S(=O)_2-(CH_2)_m-R^5$, $-(CH_2)_{n+1}-NH-$
 $(CH_2)_m-R^5$, $-(CH_2)_{n+1}-N-\{(CH_2)_m-R^5\}_2$, $-(CH_2)_{n+1}-NHC(=O)-$
 $(CH_2)_{n+1}-R^5$, and $-(CH_2)_{n+1}-NHS(=O)_2-(CH_2)_m-R^5$;

wherein R^4 is independently selected from the group consisting of hydrogen, C_1 - C_6 -alkyl, alkenyl, or alkynyl; mono- or bicyclic aryl, mono- or bicyclic heteraryl having up to 5 heteroatoms selected from N, O, and S; mono- or bicyclic aryl- C_1 - C_6 -alkyl, mono- or bicyclic heteroaryl- C_1 - C_6 -alkyl; and

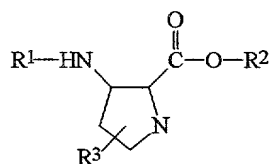
wherein R^5 is selected from the group consisting of hydroxy, C_1 - C_6 -alkyloxy, aryloxy, heteroaryloxy, thio, C_1 - C_6 -alkylthio, C_1 - C_6 -alkylsulfinyl, C_1 - C_6 -alkylsulfonyl, arylthio, arylsulfinyl, arylsulfonyl, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, amino, mono- or di- C_1 - C_6 -alkylamino, mono- or diarylamino, mono- or diheteroarylamino, N-alkyl-N-arylamino, N-alkyl-N-

heteroaryl-amino, N-aryl-N-heteroaryl-amino, aryl-C₁-C₆-alkyl-amino, carboxylic acid, carboxamide, mono- or di-C₁-C₆-alkylcarboxamide, mono- or diarylcarboxamide, mono- or diheteroarylcarboxamide, N-alkyl-N-arylcarboxamide, N-alkyl-N-heteroarylcarboxamide, N-aryl-N-heteroarylcarboxamide, sulfonic acid, sulfonamide, mono- or di-C₁-C₆-alkylsulfonamide, mono- or diarylsulfonamide, mono- or diheteroarylsulfonamide, N-alkyl-N-arylsulfonamide, N-alkyl-N-heteroarylsulfonamide, N-aryl-N-heteroarylsulfonamide, urea; mono- di- or tri-substituted urea, wherein the substituent(s) is selected from the group consisting of C₁-C₆-alkyl, aryl, heteroaryl; O-alkylurethane, O-arylurethane, and O-heteroarylurethane; and

m is an integer of from 2-6 and n is an integer of from 0-6; and

when R³ is bonded to a nitrogen atom, R³ is independently selected from the group consisting of those listed above for when R³ is attached to a carbon atom, and further selected from the group consisting of -S(=O)₂-CH₂-R⁴, -C(=O)-R⁴-S(=O)₂-(CH₂)_m-R⁵, and -C(=O)-(CH₂)_{n+1}-R⁵; wherein R⁴ and R⁵ are as defined hereinabove, and m is an integer of from 2-6 and n is an integer of from 0-6;

provided that when the β-amino acid is of formula



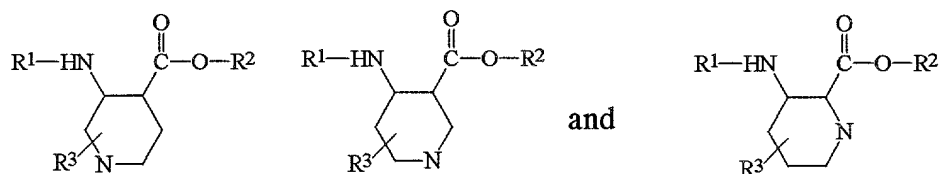
R³ is not hydrogen;

racemic mixtures thereof, isolated or enriched enantiomers thereof;
isolated or enriched diastereomers thereof;
and salts thereof.

7. The β -amino acid according to Claim 6, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

8. The β -amino acid according to Claim 6, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

9. The β -amino acid according to Claim 6, selected from the group consisting of:

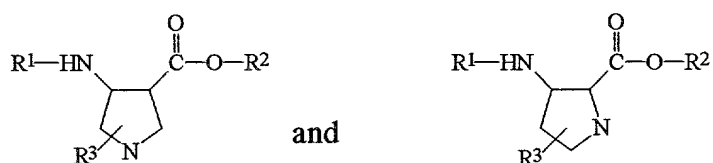


wherein R^1 , R^2 and R^3 are as defined in Claim 6.

10. The β -amino acid according to Claim 9, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

11. The β -amino acid according to Claim 9, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

12. The β -amino acid according to Claim 6, selected from the group consisting of:

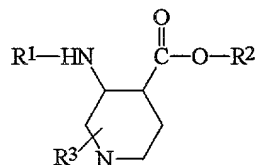


wherein R^1 , R^2 and R^3 are as defined in Claim 6.

13. The β -amino acid according to Claim 12, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

14. The β -amino acid according to Claim 12, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

15. The β -amino acid according to Claim 6, selected from the group consisting of:

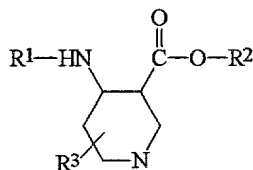


wherein R¹, R² and R³ are as defined in Claim 6.

16. The β -amino acid according to Claim 15, wherein R³ is selected from the group consisting of hydrogen, hydroxy, linear or branched C₁-C₆-alkyl, alkenyl, alkynyl, hydroxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, C₁-C₆-alkyloxy, C₁-C₆-alkyloxy-C₁-C₆-alkyl, amino, and mono- or di-C₁-C₆-alkylamino.

17. The β -amino acid according to Claim 15, wherein R³ is selected from the group consisting of hydrogen, hydroxy, linear or branched C₁-C₆-alkyl, alkenyl, alkynyl, and hydroxy-C₁-C₆-alkyl.

18. The β -amino acid according to Claim 6, selected from the group consisting of:

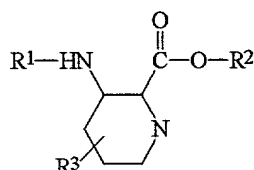


wherein R¹, R² and R³ are as defined in Claim 6.

19. The β -amino acid according to Claim 18, wherein R³ is selected from the group consisting of hydrogen, hydroxy, linear or branched C₁-C₆-alkyl, alkenyl, alkynyl, hydroxy-C₁-C₆-alkyl, amino-C₁-C₆-alkyl, C₁-C₆-alkyloxy, C₁-C₆-alkyloxy-C₁-C₆-alkyl, amino, and mono- or di-C₁-C₆-alkylamino.

20. The β -amino acid according to Claim 18, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

21. The β -amino acid according to Claim 6, selected from the group consisting of:

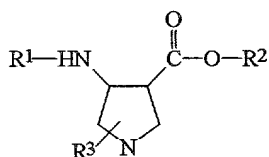


wherein R^1 , R^2 and R^3 are as defined in Claim 6.

22. The β -amino acid according to Claim 21, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

23. The β -amino acid according to Claim 21, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

24. The β -amino acid according to Claim 6, selected from the group consisting of:

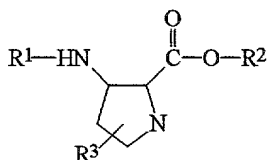


wherein R^1 , R^2 and R^3 are as defined in Claim 6.

25. The β -amino acid according to Claim 24, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

26. The β -amino acid according to Claim 24, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.

27. The β -amino acid according to Claim 6, selected from the group consisting of:



wherein R^1 , R^2 and R^3 are as defined in Claim 6.

28. The β -amino acid according to Claim 27, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, hydroxy- C_1 - C_6 -alkyl, amino- C_1 - C_6 -alkyl, C_1 - C_6 -alkyloxy, C_1 - C_6 -alkyloxy- C_1 - C_6 -alkyl, amino, and mono- or di- C_1 - C_6 -alkylamino.

29. The β -amino acid according to Claim 27, wherein R^3 is selected from the group consisting of hydrogen, hydroxy, linear or branched C_1 - C_6 -alkyl, alkenyl, alkynyl, and hydroxy- C_1 - C_6 -alkyl.